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Liposome preparations prodn. - by dispersing phospholipid in aq. medium, dissolving drug in dispersion, freeze drying and re dispersing in aq. medium

Liposome prepns. are produced by (a) dispersing phospholipid in an aq. medium, (b) dissolving a drug in the dispersion, (c) freeze-drying the resulting dispersion containing the drug, and (d) re-dispersing the freeze-dried product in an aq. medium.

ADVANTAGES/USES

Prior art methods for incorporating drugs into liposome involve use of organic solvents (e.g. chloroform, ether, t-butanol) and hence there is a risk that the products still contain residual solvents. This process is free from such a risk. Uses are pharmaceutical preparations, e.g. oral, injectable, suppository forms etc.

DETAILS

The phospholipid used is e.g. phosphatidyl choline, phosphatidyl ethanolamine, phosphatidyl inositol etc.; ovolecithin, soybean lecithin etc.; synthetic ones such as dipalmitoyl lecithin etc.

The aq. medium is pref. water, saline, buffer (phosphate, citrate etc.), aq. saccharides (glucose, sorbitol etc.).

The drug may be normal drugs such as diltiazem, propranolol, glutathione etc., vitamins, enzymes, hormones, antibiotics etc.

The phospholipid is used at 0.01-0.3 wt. pt. per wt. of the aq. medium. 5-100 wt. pt. of the phospholipid is used per wt. pt. of the drug.

EXAMPLE

50g yolk phospholipid was dispersed in 0.05M tris HCl buffer (pH 8) (800 ml). 100g of mannitol was added and the total volume was adjusted to 1 l. This crude dispersion was homogenised on a high pressure emulsifier at 450 kg/cm^2, and filtered hot through a membrane filter of 0.45 μm to obtain a dispersion (A).

800 ml. of L-asparaginase solution (2000 IU/ml) was added to 800 ml. of (A). The mixt. was put into 2 ml. vials and freeze-dried at -40 to -45°C and 0.03-0.09 Torr (16 hrs.) to obtain a freeze-dried product (B).

2 ml. of distilled water was added to one vial of (B) and shaken to obtain a liposome dispersion contg. L-asparaginase (37.4%).(4ppW119)